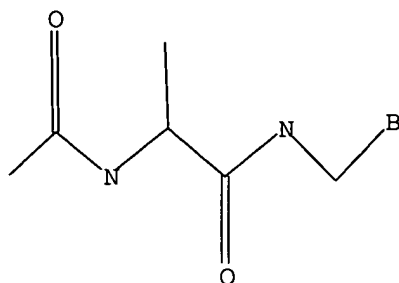


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=> d
L4 HAS NO ANSWERS
L4 STR
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=> s 14 full
FULL SEARCH INITIATED 11:29:20 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1649 TO ITERATE
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L5 160 SEA SSS FUL L4

|            |         |
|------------|---------|
| SINCE FILE | TOTAL   |
| ENTRY      | SESSION |
| 161.33     | 358.06  |

FILE 'CAPLUS' ENTERED AT 11:29:23 ON 10 JAN 2005  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
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FILE COVERS 1907 - 10 Jan 2005 VOL 142 ISS 3  
FILE LAST UPDATED: 9 Jan 2005 (20050109/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 15  
L6

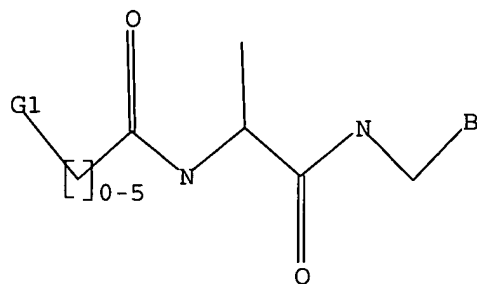
53 L5

L7            STRUCTURE UPLOADED

=> d

L7 HAS NO ANSWERS

L7                    STR



G1 Cb,Cy,Hy

Structure attributes must be viewed using STN Express query preparation.

=> s l7 full

FULL SEARCH INITIATED 11:31:11 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 1804 TO ITERATE

100.0% PROCESSED      1804 ITERATIONS

119 ANSWERS

SEARCH TIME: 00.00.01

L8                    119 SEA SSS FUL L7

=> s 19 and pd<1995  
 15883355 PD<1995  
 (PD<19950000)  
 L11 5 L9 AND PD<1995

=> d 111 1-5 ibib abs hitstr

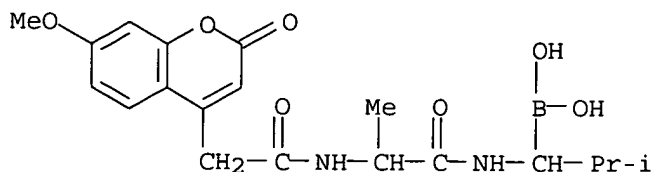
L11 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1991:123091 CAPLUS  
 DOCUMENT NUMBER: 114:123091  
 TITLE: Preparation of boropeptide protease inhibitors as  
 neoplasm inhibitors and virucides  
 INVENTOR(S): Kinder, David H.; Ames, Matthew M.  
 PATENT ASSIGNEE(S): Mayo Foundation for Medical Education and Research,  
 USA  
 SOURCE: U.S., 11 pp.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

| PATENT NO.             | KIND | DATE     | APPLICATION NO. | DATE         |
|------------------------|------|----------|-----------------|--------------|
| US 4963655             | A    | 19901016 | US 1988-199891  | 19880527 <-- |
| US 5106948             | A    | 19920421 | US 1990-574294  | 19900828 <-- |
| US 5159060             | A    | 19921027 | US 1992-823674  | 19920121 <-- |
| PRIORITY APPLN. INFO.: |      |          | US 1988-199891  | A2 19880527  |
|                        |      |          | US 1990-574294  | A3 19900828  |

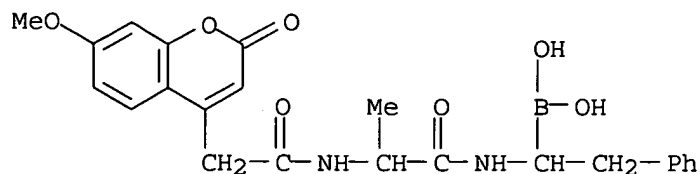
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds., e.g., I, II, and III, were prepared as neoplasm  
 inhibitors and virucides. Thus, alanylborovaline derivative I, prepared via  
 the  
 corresponding pinanediol aminoboronic ester IV, at 1.47  $\mu$ M gave 93%  
 inhibition of growth of human melanoma A375 cells after 96 h.  
 IT **132472-62-9P 132472-67-4P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, as virucide and neoplasm inhibitor)  
 RN 132472-62-9 CAPLUS  
 CN Boronic acid, [1-[[2-[[[(7-methoxy-2-oxo-2H-1-benzopyran-4-yl)acetyl]amino]-  
 1-oxopropyl]amino]-2-methylpropyl]- (9CI) (CA INDEX NAME)



RN 132472-67-4 CAPLUS  
 CN Boronic acid, [1-[[2-[[[(7-methoxy-2-oxo-2H-1-benzopyran-4-yl)acetyl]amino]-  
 1-oxopropyl]amino]-2-phenylethyl]- (9CI) (CA INDEX NAME)



L11 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1990:532823 CAPLUS

DOCUMENT NUMBER: 113:132823

TITLE: Peptides containing  $\alpha$ -aminoboronate groups for treatment of human immunodeficiency virus-caused disease

INVENTOR(S): Moelling, Karin; Paessens, Arnold; Kleemann, Heinz  
Werner; Urbach, Hansjoerg; Koenig, Wolfgang; Ruppert, Dieter; Winkler, Irwin

PATENT ASSIGNEE(S): Hoechst A.-G., Germany

SOURCE: Ger. Offen., 19 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE         |
|---|------|----------|-----------------|--------------|
| DE 3827340  | A1   | 19900215 | DE 1988-3827340 | 19880812 <-- |
| EP 354522   | A1   | 19900214 | EP 1989-114601  | 19890808 <-- |
| R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE |      |          |                 |              |
| DK 8903957  | A    | 19900213 | DK 1989-3957    | 19890811 <-- |
| NO 8903240  | A    | 19900327 | NO 1989-3240    | 19890811 <-- |
| AU 8939513  | A1   | 19900329 | AU 1989-39513   | 19890811 <-- |
| JP 02091023   | A2   | 19900330 | JP 1989-207061  | 19890811 <-- |
| ZA 8906150  | A    | 19910130 | ZA 1989-6150    | 19890811 <-- |
| PRIORITY APPLN. INFO.:                                |      |          | DE 1988-3827340 | A 19880812   |

OTHER SOURCE(S): MARPAT 113:132823

GI For diagram(s), see printed CA Issue.

AB A1A2NHCHR2B(XR3)YR4 [I; A1 = R1R6NCHR5CO, R1R7CHCHR5CO, etc.; A2 = null, NR6CHR5CO; X, Y = O, NR8; R1,R2,R5 = H, (substituted) (unsatd.) alkyl, mono-, bi-, or tricycloalkyl, arylalkyl, mono- or bicyclic heterocyclyl, etc.; R3,R4 = H, (unsatd.) (substituted) alkyl; or B(XR3)YR4 = mono-, bi-, or tricyclic (unsatd.) (alkylated) 5-18 membered ring system; R6,R7 = H, alkyl; R5R6, R1R7 = atoms to complete a mono- or bicyclic (unsatd.) 5-12 membered ring; R8 = H, (substituted) (unsatd.) alkyl], were prepared as virucides for treatment of human immunodeficiency virus-induced disease. Thus, isovalerylphenylalanyl norvaline (H-Iva-Phe-Nva-OH) in THF at -20° was treated with N-methylmorpholine and Me2CHCH2O2CCl and then Et3N in THF. The mixture was then added to a -20° solution of 2-[(1'-amino-2'-cyclohexyl)ethyl]-4,4,5,5-tetramethyl-1,3,2-dioxaborolane trifluoroacetate (preparation given) in THF. The mixture was stirred 22 h at room temperature to give H-Iva-Phe-Nva-Q. I inhibited HIV protease at 10<sup>-2</sup>-10<sup>-6</sup> M.

IT 123706-09-2DP, pinacol ester derivative 123706-09-2P

123706-11-6P 123706-12-7P 123706-14-9P

123706-21-8P 123706-22-9P 123706-23-0P

123706-26-3P 123706-27-4P 123706-28-5P

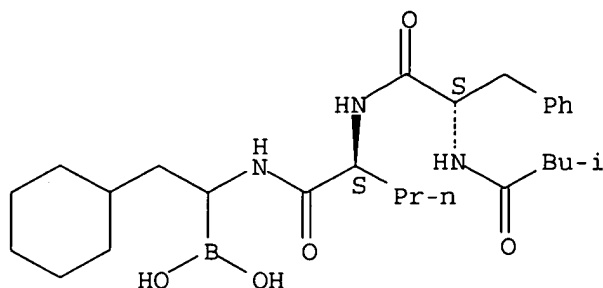
123706-29-6P 123706-30-9P 123706-31-0P

123728-29-0P

RL: SPN (Synthetic preparation); PREP (Preparation)

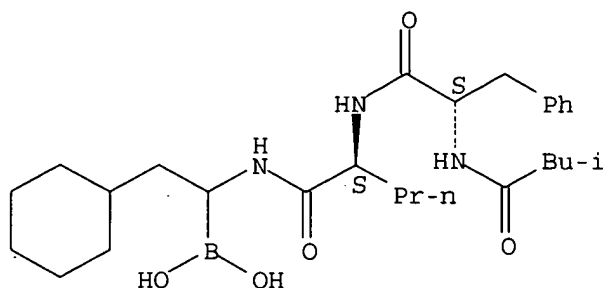
RN 123706-09-2 CAPLUS

Absolute stereochemistry.



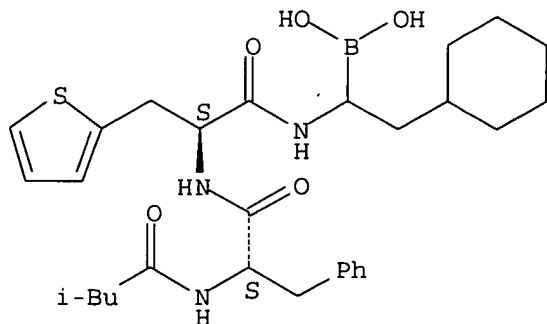
RN 123706-09-2 CAPLUS

Absolute stereochemistry.



RN 123706-11-6 CAPLUS

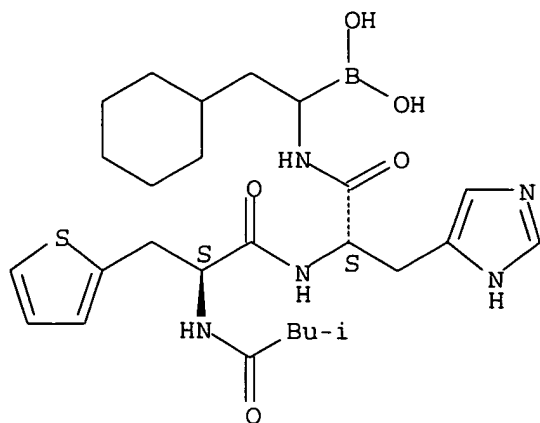
Absolute stereochemistry.



RN 123706-12-7 CAPLUS

CN L-Histidinamide, N-(3-methyl-1-oxobutyl)-3-(2-thienyl)-L-alanyl-N-(1-borono-2-cyclohexylethyl)- (9CI) (CA INDEX NAME)

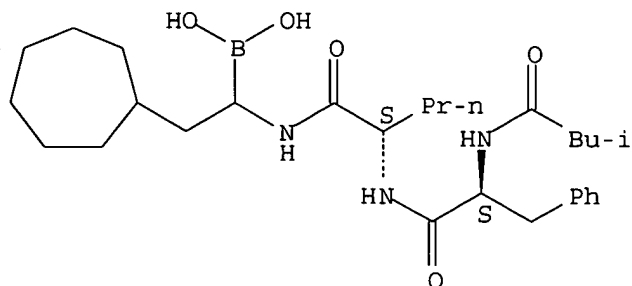
Absolute stereochemistry.



RN 123706-14-9 CAPLUS

CN L-Norvalinamide, N-(3-methyl-1-oxobutyl)-L-phenylalanyl-N-(1-borono-2-cycloheptylethyl)- (9CI) (CA INDEX NAME)

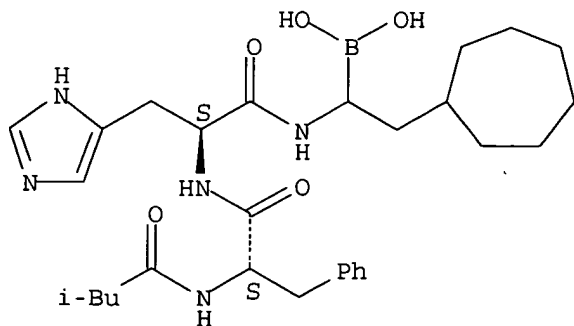
Absolute stereochemistry.



RN 123706-21-8 CAPLUS

CN L-Histidinamide, N-(3-methyl-1-oxobutyl)-L-phenylalanyl-N-(1-borono-2-cycloheptylethyl)- (9CI) (CA INDEX NAME)

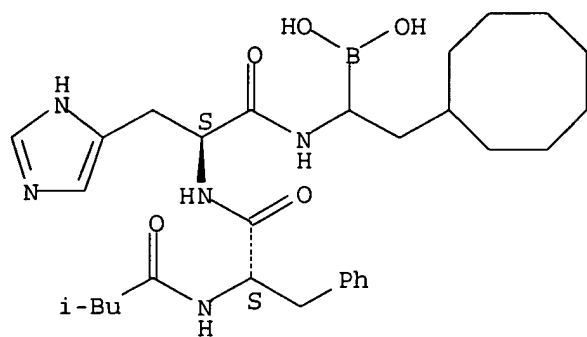
Absolute stereochemistry.



RN 123706-22-9 CAPLUS

CN L-Histidinamide, N-(3-methyl-1-oxobutyl)-L-phenylalanyl-N-(1-borono-2-cyclooctylethyl)- (9CI) (CA INDEX NAME)

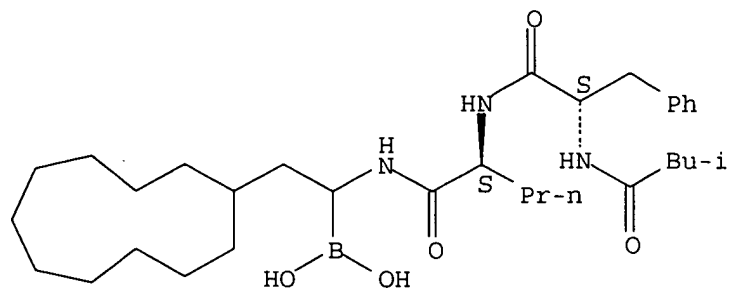
Absolute stereochemistry.



RN 123706-23-0 CAPLUS

CN L-Norvalinamide, N-(3-methyl-1-oxobutyl)-L-phenylalanyl-N-(1-borono-2-cycloundecylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





L11 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1990:512988 CAPLUS

DOCUMENT NUMBER: 113:112988

TITLE: Thrombin and its inhibitors regulate morphological and biochemical differentiation of astrocytes in vitro

AUTHOR(S): Nelson, Robert B.; Siman, Robert

CORPORATE SOURCE: Neurobiol. Dep., Harvard Med. Sch., Boston, MA, 02115, USA

SOURCE: Developmental Brain Research (1990), 54(1), 93-104

CODEN: DBRRDB; ISSN: 0165-3806

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Flat, amorphous astroblasts in culture differentiate into rounded process-bearing cells after removal of serum from the media or following addition of dibutyryl cAMP (dbcAMP). In the present expts., addition of thrombin (10 nM) to rat primary astroglial cultures reversed both the spontaneous morphol. differentiation of astroblasts caused by serum removal, and the more extensive morphol. differentiation caused by pretreatment with dbcAMP. The astroblasts retained the ability to differentiate upon removal of thrombin from the medium. Proteolytic activity of thrombin was required for the reversal of differentiation. Moreover, addition of serine protease inhibitors active against thrombin elicited a prolonged morphol. differentiation rivaling that induced by dbcAMP, suggesting that inactivation of cell-associated thrombin might be sufficient for morphol. differentiation to occur. Two other serine proteases with a cleavage specificity similar to that for thrombin were ineffective in reversing differentiation. Both the induction of morphol. differentiation by dbcAMP and its reversal by thrombin were rapid, being essentially complete by 1 h. With more prolonged treatments, thrombin also reduced the dbcAMP-mediated increase in glutamine synthetase, a biochem. marker for astroglial differentiation. Thrombin also inhibited morphol. differentiation in C6 glioma and altered the morphol. of microglial cells; however, thrombin did not prevent neurite outgrowth in primary central neuronal cultures, in contrast to its previously reported effects on the neuroblastoma 2a cell line. Evidently, a proteolytic mechanism mediated by thrombin and its inhibitors and its inhibitors may underlie the regulation of astroglial differentiation.

IT 124216-01-9

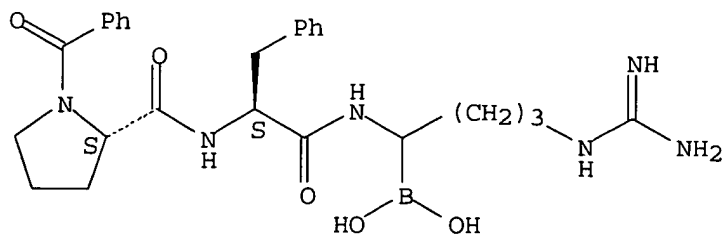
RL: BIOL (Biological study)

(astrocyte differentiation response to, thrombin inhibition in relation to)

RN 124216-01-9 CAPLUS

CN L-Phenylalaninamide, 1-benzoyl-L-prolyl-N-[4-[(aminoiminomethyl)amino]-1-boronobutyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



HCl

L11 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1990:91790 CAPLUS

DOCUMENT NUMBER: 112:91790

TITLE: Peptide boronic acid inhibitors of trypsinlike proteases, their preparation and use as anticoagulants and inflammation inhibitors

INVENTOR(S): Kettner, Charles Adrian; Shenvi, Ashokkumar Bhikkappa

PATENT ASSIGNEE(S): du Pont de Nemours, E. I., and Co., USA

SOURCE: Eur. Pat. Appl., 61 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE         |
|---|------|----------|-----------------|--------------|
| EP 293881   | A2   | 19881207 | EP 1988-108817  | 19880601 <-- |
| EP 293881   | A3   | 19900530 |                 |              |
| EP 293881   | B1   | 19930310 |                 |              |
| R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE |      |          |                 |              |
| US 5187157  | A    | 19930216 | US 1988-178368  | 19880406 <-- |
| CA 1328332  | A1   | 19940405 | CA 1988-568224  | 19880531 <-- |
| AT 86628  | E    | 19930315 | AT 1988-108817  | 19880601 <-- |
| ES 2046237  | T3   | 19940201 | ES 1988-108817  | 19880601 <-- |
| DK 8803044  | A    | 19881206 | DK 1988-3044    | 19880603 <-- |
| FI 8802638  | A    | 19881206 | FI 1988-2638    | 19880603 <-- |
| FI 97297  | B    | 19960815 |                 |              |
| FI 97297  | C    | 19961125 |                 |              |
| NO 8802472  | A    | 19881206 | NO 1988-2472    | 19880603 <-- |
| AU 8817332  | A1   | 19881208 | AU 1988-17332   | 19880603 <-- |
| AU 623592   | B2   | 19920521 |                 |              |
| JP 01063583   | A2   | 19890309 | JP 1988-135770  | 19880603 <-- |
| JP 07030090   | B4   | 19950405 |                 |              |
| HU 49629  | A2   | 19891030 | HU 1988-2899    | 19880603 <-- |
| HU 205141   | B    | 19920330 |                 |              |
| ZA 8803953  | A    | 19900228 | ZA 1988-3953    | 19880603 <-- |
| IL 86613  | A1   | 19930404 | IL 1988-86613   | 19880603 <-- |
| SU 1807988  | A3   | 19930407 | SU 1988-4356026 | 19880603 <-- |
| CA 1333208  | A1   | 19941122 | CA 1991-616134  | 19910816 <-- |
| CA 1339897  | A1   | 19980602 | CA 1991-616135  | 19910816     |
| RU 2017749  | C1   | 19940815 | RU 1991-5010164 | 19911128 <-- |
| US 5242904  | A    | 19930907 | US 1992-848296  | 19920309 <-- |
| US 5250720  | A    | 19931005 | US 1992-852023  | 19920309 <-- |
| PRIORITY APPLN. INFO.:                                |      |          | US 1987-59670   | A 19870605   |
|   |      |          | US 1988-178368  | A 19880406   |
|   |      |          | CA 1988-568224  | A3 19880531  |
|   |      |          | EP 1988-108817  | A 19880601   |

OTHER SOURCE(S): MARPAT 112:91790

AB Peptides containing C-terminal boronic acid derivs. of lysine, ornithine, arginine, or homoarginine and corresponding isothiuronium analogs are reversible inhibitors of trypsinlike serine proteases such as thrombin, plasma kallikrein, and plasmin and are useful in treatment of blood coagulation disorders and inflammation. The peptides have the structure R1(A3qA2pA1o)nNHCHR2BY1Y2 (Y1, Y2 = OH, F; or Y1Y2 = dihydroxy compound moiety; R1 = peptide of 1-20 residues, C1-20 acyl or sulfonyl, H, N-terminal protecting group; A1-A3 = L- or D-amino acid; R2 = substituted alkyl; n, o, p, q = 0, 1) (I). In rats given Ac-D-Phe-boro-Arg (II) (where boro-Arg has a boronic acid moiety in place of CO2H) orally at 1 mg, the blood clotting time (thrombin time) was increased to >300 s for 3 h (control, 34 s). II-HCl at 5 nm inhibited the activity of human

thrombin (1.0 nM) by 97% in vitro (initial substrate concentration 0.10 mM). Allyl bromide was hydroborated with catechol borane, transesterified with (+)- $\alpha$ -pinanediol, homologated, and aminated to yield 1-amino-4-bromobutyl boronate pinanediol.HCl, which was coupled to Boc-D-Phe-Pro (Boc = tert-butoxycarbonyl) (preparation given) and converted in 5 addnl. steps to II-HCl.

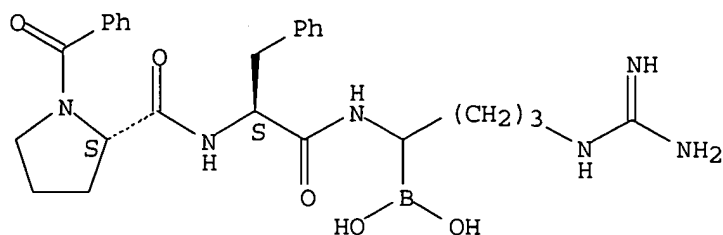
IT 124216-01-9P 124216-02-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as protease inhibitor)

RN 124216-01-9 CAPLUS

CN L-Phenylalaninamide, 1-benzoyl-L-prolyl-N-[4-[(aminoiminomethyl)amino]-1-boronobutyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

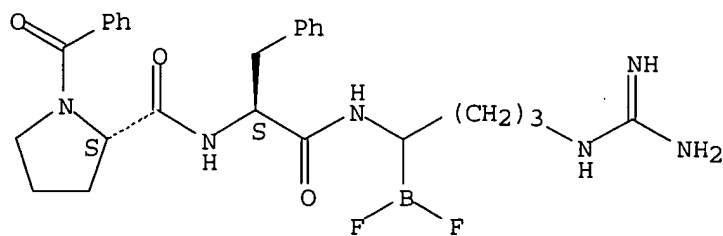


● HCl

RN 124216-02-0 CAPLUS

CN L-Phenylalaninamide, 1-benzoyl-L-prolyl-N-[4-[(aminoiminomethyl)amino]-1-(difluoroboryl)butyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

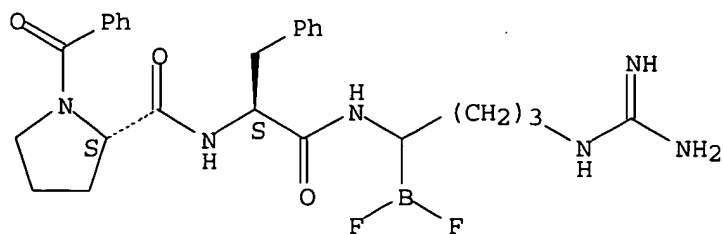
IT 124216-61-1

RL: BIOL (Biological study)  
(protease inhibition by)

RN 124216-61-1 CAPLUS

CN L-Phenylalaninamide, 1-benzoyl-L-prolyl-N-[4-[(aminoiminomethyl)amino]-1-(difluoroboryl)butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L11 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1989:633679 CAPLUS

DOCUMENT NUMBER: 111:233679

TITLE: Preparation and testing of borylpeptides as renin inhibitors

INVENTOR(S): Kleemann, Heinz Werner; Urbach, Hans Joerg; Ruppert, Dieter; Schoelkens, Bernward

PATENT ASSIGNEE(S): Hoechst A.-G., Fed. Rep. Ger.

SOURCE: Eur. Pat. Appl., 28 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE         |
|---|------|----------|-----------------|--------------|
| EP 315574   | A2   | 19890510 | EP 1988-710042  | 19881029 <-- |
| EP 315574   | A3   | 19900822 |                 |              |
| R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE |      |          |                 |              |
| DE 3836911  | A1   | 19890524 | DE 1988-3836911 | 19881029 <-- |
| FI 8805068  | A    | 19890506 | FI 1988-5068    | 19881103 <-- |
| ZA 8808239  | A    | 19890726 | ZA 1988-8239    | 19881103 <-- |
| US 5169841  | A    | 19921208 | US 1988-266960  | 19881103 <-- |
| DK 8806172  | A    | 19890506 | DK 1988-6172    | 19881104 <-- |
| NO 8804925  | A    | 19890508 | NO 1988-4925    | 19881104 <-- |
| AU 8824693  | A1   | 19890511 | AU 1988-24693   | 19881104 <-- |
| AU 608379   | B2   | 19910328 |                 |              |
| JP 01163185   | A2   | 19890627 | JP 1988-277568  | 19881104 <-- |
| PRIORITY APPLN. INFO.:                                |      |          | DE 1987-3737498 | A 19871105   |
|   |      |          | DE 1988-3818436 | A 19880531   |

OTHER SOURCE(S): CASREACT 111:233679; MARPAT 111:233679

GI For diagram(s), see printed CA Issue.

AB A1A2NHCHR2B(XR3)YR4 [I; A1 = R1R6NCHR5CO, R1R12CHCHR5CO, R1R6NCHR5CHR7CHR8CHR9CO, etc.; A2 = null, NR6CHR5CO; X, Y = O, NR13; R1, R2, R5, R9 = H, (substituted) (unsatd.) C1-12 alkyl, C3-18 cycloalkyl, C6-14 aryl, cycloalkylalkyl, aralkyl, all of which may be coupled to CO, OCO, SO2, SO, HNSO2, HNCO, CHOH, or NOH; R3, R4 = H, (substituted) C1-12 alkyl; R3R4 = atoms to complete a mono-, bi-, or tricyclic (unsatd.) (substituted) ring system; R6 = H, C1-8 alkyl; R5R6 = atoms to complete a mono- or bicyclic (unsatd.) ring; R7, R8 = H, OH, amino, F, aminoalkyl, hydroxyalkyl, (unsatd.) C1-4 alkyl; R12 = H, C1-8 alkyl; R1R12 = atoms to complete a mono- or bicyclic (unsatd.) C5-12 ring; R13 = H, (unsatd.) (substituted) C1-12 alkyl], useful as renin inhibitors, were prepared. Aminodioxaborolane II.CF3CO2H (Q = H) (prepared from (MeO)3B and (cyclohexylmethyl)magnesium bromide) in THF at -20° was treated with a mixture of IVA-Phe-Nva (IVA = isovaleryl, Nva = norvalyl), Me2CHCH2OCOC1, 4-methylmorpholine, and Et3N in THF and the mixt was stirred 1 h at -20° and 2 h at room temperature to give II (Q = NVA-Phe-Nva). The latter inhibited human plasma renin with an IC50 of 4.2 + 10-7 M.

IT 123706-09-2DP, pinanyl ester derivative 123706-09-2P  
 123706-11-6P 123706-12-7P 123706-14-9P  
 123706-20-7P 123706-21-8P 123706-22-9P  
 123706-23-0P 123706-26-3P 123706-27-4P  
 123706-28-5P 123706-29-6P 123706-30-9P  
 123706-31-0P 123728-29-0P

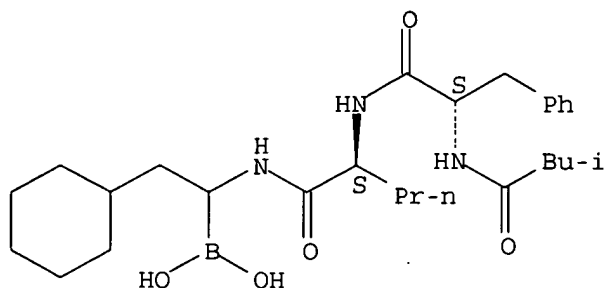
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of, as renin inhibitor)

RN 123706-09-2 CAPLUS

CN L-Norvalinamide, N-(3-methyl-1-oxobutyl)-L-phenylalanyl-N-(1-borono-2-cyclohexylethyl)- (9CI) (CA INDEX NAME)

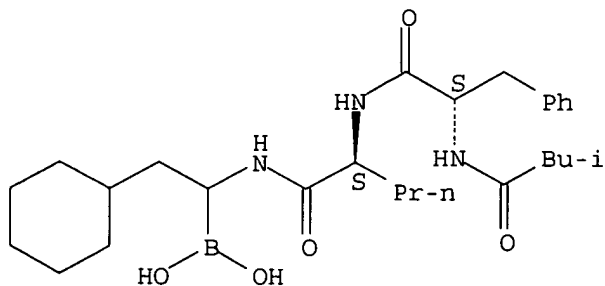
Absolute stereochemistry.



RN 123706-09-2 CAPLUS

CN L-Norvalinamide, N-(3-methyl-1-oxobutyl)-L-phenylalanyl-N-(1-borono-2-cyclohexylethyl)- (9CI) (CA INDEX NAME)

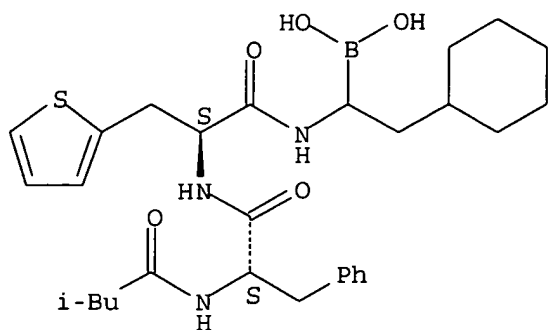
Absolute stereochemistry.



RN 123706-11-6 CAPLUS

CN L-Alaninamide, N-(3-methyl-1-oxobutyl)-L-phenylalanyl-N-(1-borono-2-cyclohexylethyl)-3-(2-thienyl)- (9CI) (CA INDEX NAME)

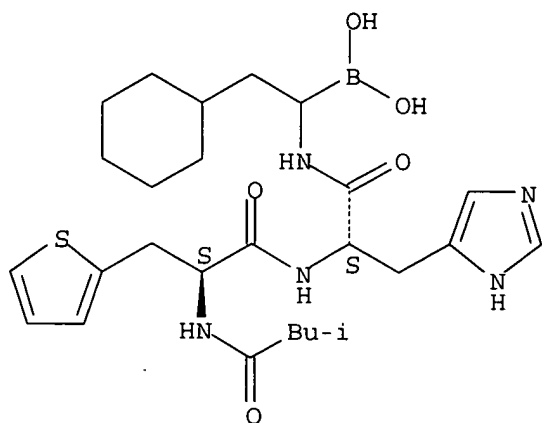
Absolute stereochemistry.



RN 123706-12-7 CAPLUS

CN L-Histidinamide, N-(3-methyl-1-oxobutyl)-3-(2-thienyl)-L-alanyl-N-(1-borono-2-cyclohexylethyl)- (9CI) (CA INDEX NAME)

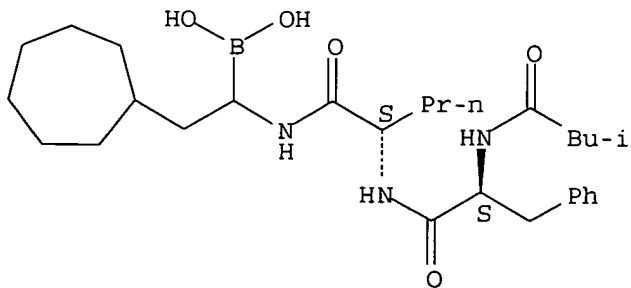
Absolute stereochemistry.



RN 123706-14-9 CAPLUS

CN L-Norvalinamide, N-(3-methyl-1-oxobutyl)-L-phenylalanyl-N-(1-borono-2-cycloheptylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> E ADAMS JULIAN/AU 25

|     |         |                            |
|-----|---------|----------------------------|
| E1  | 1       | ADAMS JULAIN/AU            |
| E2  | 4       | ADAMS JULIA A/AU           |
| E3  | 181 --> | ADAMS JULIAN/AU            |
| E4  | 5       | ADAMS JULIAN J/AU          |
| E5  | 1       | ADAMS JULIAN R J/AU        |
| E6  | 3       | ADAMS JULIE/AU             |
| E7  | 4       | ADAMS JULIE A/AU           |
| E8  | 1       | ADAMS JULIE M/AU           |
| E9  | 7       | ADAMS JULIUS R/AU          |
| E10 | 1       | ADAMS JULIUS T/AU          |
| E11 | 2       | ADAMS JULYE M/AU           |
| E12 | 8       | ADAMS JUNIUS G/AU          |
| E13 | 21      | ADAMS JUNIUS G III/AU      |
| E14 | 1       | ADAMS JUNIUS GREENE III/AU |
| E15 | 1       | ADAMS JUNIUS III/AU        |
| E16 | 1       | ADAMS JURGEN/AU            |
| E17 | 26      | ADAMS K/AU                 |
| E18 | 5       | ADAMS K A/AU               |
| E19 | 7       | ADAMS K A H/AU             |
| E20 | 3       | ADAMS K B/AU               |
| E21 | 5       | ADAMS K E/AU               |
| E22 | 1       | ADAMS K F/AU               |
| E23 | 1       | ADAMS K F JR/AU            |
| E24 | 5       | ADAMS K G/AU               |
| E25 | 27      | ADAMS K H/AU               |

=> S (E3) AND (PROTEAS?)

|    |        |                                    |
|----|--------|------------------------------------|
|    | 181    | "ADAMS JULIAN"/AU                  |
|    | 107110 | PROTEAS?                           |
| L5 | 80     | ("ADAMS JULIAN"/AU) AND (PROTEAS?) |

=> S (E3) AND (PROTEASOME INHIB?)

|    |         |   |
|----|---------|---|
|    | 181     | "ADAMS JULIAN"/AU                           |
|    | 8247    | PROTEASOME                                  |
|    | 1318    | PROTEASOMES                                 |
|    | 8429    | PROTEASOME                                  |
|    |         | (PROTEASOME OR PROTEASOMES)                 |
|    | 1712056 | INHIB?                                      |
|    | 2131    | PROTEASOME INHIB?                           |
|    |         | (PROTEASOME(W) INHIB?)                      |
| L6 | 77      | ("ADAMS JULIAN"/AU) AND (PROTEASOME INHIB?) |

=> s 16 and boronic ester?

|    |        |                       |
|----|--------|-----------------------|
|    | 5011   | BORONIC               |
|    | 864005 | ESTER?                |
|    | 386    | BORONIC ESTER?        |
|    |        | (BORONIC(W) ESTER?)   |
| L7 | 2      | L6 AND BORONIC ESTER? |

=> d 17 1-2

|    |  |        |                           |
|----|--|--------|---------------------------|
| L7 | ANSWER 1 OF 2  | CAPLUS | COPYRIGHT 2005 ACS on STN |
| AN | 2000:452347  | CAPLUS |                           |
| DN | 133:89798  |        |                           |
| TI | Preparation of peptidyl <b>boronic ester</b> and acid compounds as <b>proteasome inhibitors</b>      |        |                           |
| IN | <b>Adams, Julian</b> ; Ma, Yu-Ting; Stein, Ross; Baeovsky, Matthew; Grenier, Louis; Plamondon, Louis |        |                           |
| PA | Leukosite, Inc., USA   |        |                           |
| SO | U.S., 38 pp., Cont.-in-part of U.S. Ser. No. 330,525, abandoned.                                     |        |                           |
|    | CODEN: USXXAM  |        |                           |
| DT | Patent   |        |                           |

LA English  
FAN.CNT 3

|      | PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|------|---|------|----------|-----------------|----------|
| PI   | US 6083903  | A    | 20000704 | US 1995-442581  | 19950516 |
|      | CA 2203936  | AA   | 19960509 | CA 1995-2203936 | 19951027 |
|      | WO 9613266  | A1   | 19960509 | WO 1995-US14117 | 19951027 |
|      | W: AL, AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK |      |          |                 |          |
|      | RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG  |      |          |                 |          |
|      | AU 9641398  | A1   | 19960523 | AU 1996-41398   | 19951027 |
|      | AU 710564   | B2   | 19990923 |                 |          |
|      | ZA 9509119  | A    | 19960527 | ZA 1995-9119    | 19951027 |
|      | EP 788360   | A1   | 19970813 | EP 1995-939670  | 19951027 |
|      | EP 788360   | B1   | 20030528 |                 |          |
|      | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE   |      |          |                 |          |
|      | CN 1168633  | A    | 19971224 | CN 1995-196590  | 19951027 |
|      | US 5780454  | A    | 19980714 | US 1995-549318  | 19951027 |
|      | JP 10510245   | T2   | 19981006 | JP 1996-514834  | 19951027 |
|      | NZ 337211   | A    | 20001222 | NZ 1995-337211  | 19951027 |
|      | IL 115790   | A1   | 20021201 | IL 1995-115790  | 19951027 |
|      | EP 1312609  | A1   | 20030521 | EP 2003-4280    | 19951027 |
|      | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE   |      |          |                 |          |
|      | AT 241631   | E    | 20030615 | AT 1995-939670  | 19951027 |
|      | PT 788360   | T    | 20031031 | PT 1995-939670  | 19951027 |
|      | ES 2199257  | T3   | 20040216 | ES 1995-939670  | 19951027 |
|      | IL 133831   | A1   | 20040328 | IL 1995-133831  | 19951027 |
|      | FI 9701746  | A    | 19970606 | FI 1997-1746    | 19970423 |
|      | NO 9701929  | A    | 19970612 | NO 1997-1929    | 19970425 |
|      | HK 1002059  | A1   | 20030905 | HK 1998-100951  | 19980207 |
|      | US 6066730  | A    | 20000523 | US 1998-85404   | 19980526 |
|      | US 6297217  | B1   | 20011002 | US 2000-490511  | 20000125 |
|      | US 6465433  | B1   | 20021015 | US 2001-953540  | 20010914 |
|      | US 2002173488   | A1   | 20021121 | US 2002-100295  | 20020318 |
|      | US 6548668  | B2   | 20030415 |                 |          |
|      | US 6617317  | B1   | 20030909 | US 2002-125997  | 20020419 |
|      | US 2003199561   | A1   | 20031023 | US 2003-392165  | 20030319 |
|      | US 6747150  | B2   | 20040608 |                 |          |
|      | US 2004167332   | A1   | 20040826 | US 2003-730231  | 20031208 |
| PRAI | US 1994-330525  | B2   | 19941028 |                 |          |
|      | US 1995-442581  | A    | 19950516 |                 |          |
|      | EP 1995-939670  | A3   | 19951027 |                 |          |
|      | IL 1995-115790  | A3   | 19951027 |                 |          |
|      | NZ 1995-296717  | A1   | 19951027 |                 |          |
|      | US 1995-549318  | A3   | 19951027 |                 |          |
|      | WO 1995-US14117   | W    | 19951027 |                 |          |
|      | US 1998-85404   | A3   | 19980526 |                 |          |
|      | US 2000-490511  | A1   | 20000125 |                 |          |
|      | US 2001-953540  | A1   | 20010914 |                 |          |
|      | US 2002-100295  | A1   | 20020318 |                 |          |
|      | US 2002-125997  | A1   | 20020419 |                 |          |
|      | US 2003-392165  | A1   | 20030319 |                 |          |

OS MARPAT 133:89798

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN  
AN 1998:479021 CAPLUS  
DN 129:122868



TI Preparation of peptidylboronic ester and acid compounds as  
**proteasome inhibitors**  
 IN **Adams, Julian**; Ma, Yu-Ting; Stein, Ross; Baevsky, Matthew;  
 Grenier, Louis; Plamondon, Louis  
 PA Proscript, Inc., USA  
 SO U.S., 37 pp., Cont.-in-part of U.S. Ser. No. 442,581.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 FAN.CNT 3

|      | PATENT NO.     | KIND | DATE     | APPLICATION NO. | DATE     |
|------|----------------|------|----------|-----------------|----------|
|      | -----          | ---  | -----    | -----           | -----    |
| PI   | US 5780454     | A    | 19980714 | US 1995-549318  | 19951027 |
|      | US 6083903     | A    | 20000704 | US 1995-442581  | 19950516 |
|      | US 6066730     | A    | 20000523 | US 1998-85404   | 19980526 |
|      | US 6297217     | B1   | 20011002 | US 2000-490511  | 20000125 |
|      | US 6465433     | B1   | 20021015 | US 2001-953540  | 20010914 |
|      | US 2002173488  | A1   | 20021121 | US 2002-100295  | 20020318 |
|      | US 6548668     | B2   | 20030415 |                 |          |
|      | US 6617317     | B1   | 20030909 | US 2002-125997  | 20020419 |
|      | US 2003199561  | A1   | 20031023 | US 2003-392165  | 20030319 |
|      | US 6747150     | B2   | 20040608 |                 |          |
|      | US 2004167332  | A1   | 20040826 | US 2003-730231  | 20031208 |
| PRAI | US 1994-330525 | B2   | 19941028 |                 |          |
|      | US 1995-442581 | A2   | 19950516 |                 |          |
|      | US 1995-549318 | A3   | 19951027 |                 |          |
|      | US 1998-85404  | A3   | 19980526 |                 |          |
|      | US 2000-490511 | A1   | 20000125 |                 |          |
|      | US 2001-953540 | A1   | 20010914 |                 |          |
|      | US 2002-100295 | A1   | 20020318 |                 |          |
|      | US 2002-125997 | A1   | 20020419 |                 |          |
|      | US 2003-392165 | A1   | 20030319 |                 |          |

OS MARPAT 129:122868

RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT